

5 **We Claim:**

1. A process for the manufacture of highly optical pure R-(-) or S-(+)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide which comprises:
 - (a) resolving (R,S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide with D-(-)- or L-(+)-tartaric acid to form a mixture of diastereomeric salts
 - (b) separating the diastereomeric salt by filtration at desired temperature range
 - (c) subjecting diastereomeric salt kinetic resolution in a solvent system of the kind such as hereinbefore described;
 - (d) liberating of optically pure R-(-) or S-(+)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide with optical purity more than 99.9% .
- 10 2. A process as claimed in claim 1 as claimed in claim 1 wherein said D-(-)- or L-(+)-tartaric acid is employed in 1-1.5 molar ratio with that of (R,S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide.
- 15 3. A process as claimed in claim 2 wherein D-(-)- or L-(+)-tartaric acid is preferably employed in 1.1 molar ratio with that of (R,S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide.
- 20 4. A process as claimed in claim 1 wherein in step (a) protic solvents containing C₁-C₆ are employed for resolution alone or along with varying proportions of dipolar solvents like N,N-dimethylformamide, N,N-dimethylacetamide, dimethyl sulfoxide, N-methyl-2-pyrrolidone and water.
- 25 5. A process as claimed in claim 4 wherein said protic solvents are selected from methanol, ethanol, 1-propanol and 2-propanol.
6. A process as claimed in claim 5 wherein ratio of the dipolar solvent to alcoholic solvent varies from 5-20% (v/v).
- 30 7. A process as claimed in claim 6 wherein amount of a single solvent or a solvents combination employed as that of (R,S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide is 5-25 volume.

8. A process as claimed in claim 7 wherein the most preferable amount of methanol and N,N-dimethylformamide employed as that of (R,S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide is 8.5 and 1.7 volumes respectively.
9. A process as claimed in claim 8 wherein resolution is carried out at a temperature in the range of 20-70°C, preferably, 60-65°C.
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10. A process as claimed in claim 9 wherein the reaction mixture is stirred for 0-26 hours, preferably, 6 hours.
11. A process as claimed in claim 1 wherein in step (a), the diastereomeric salt contains the (R) isomer in an amount of from 60-96% and (S) isomer in an
10 amount of from 40-4%, preferably, 80-90% and 20-10% respectively.
12. A process as claimed in claim 1 wherein in step (b), said diastereomeric salt is separated by filtration over nutsche filter or by centrifugation at temperature 30-70°C.
13. A process as claimed in claim 12 wherein the filtration or centrifugation is carried
15 out preferably at a temperature in the range of 60-65°C.
14. A process as claimed in claim 1 wherein I step (b) said kinetic resolution of moderately resolved diastereomeric salt is accomplished in 5-15 volumes of a single solvent or a solvent mixture containing primary, secondary or tertiary alcohol (C₁-C₆) with water to get desired optical purity.
- 20 15. A process as claimed in claim 14 wherein said kinetic resolution of moderately resolved diastereomeric salt is accomplished in solvent mixture of 0-10 volumes, preferably, 4 volumes of methanol and 0-5 volumes, preferably 2.5 volumes of water to get desired optical purity.
16. A process as claimed in claim 1 wherein in step (c) the reaction mixture is
25 refluxed for 0.5-4 hours, preferably 1 hour.
17. A process as claimed in claim 1 wherein in step (c) the clear solution is cooled from reflux temperature (65-100°C) to 30-60°C, in first cooling, preferably 40-55°C to get optimum results.
18. A process as claimed in claim 24, wherein the temperature of reaction mixture is
30 maintained for 0-10 hours, preferably 2 hours.
19. A process is claimed in claim 18 wherein the reaction mixture is further cooled to 10-40°C, preferably, 30-35°C in second cooling.
20. A process as claimed in claim 28, wherein the reaction mixture is aged for 0- 12 hours preferably 6 hours, before filtration.

21. A process as claimed in claim 30, wherein the diastereomeric salt contains R-isomer in an amount of 93-99%, preferably 98-99% and S-isomer 1-7%, preferably, 1-2%.
22. A process as claimed in claim 1 wherein in step (c) kinetically resolved
5 diastereomeric salt is subjected to 1-2 more purification steps.
23. A process as claimed in claim 22 wherein the optical purity of R-(-)-isomer of 5-(2-aminopropyl)-2-methoxybenzenesulfonamide is enhanced from 98 to 99.95% by methanol : water purification.
24. A process as claimed in claim 1 wherein in step (d) pure diastereomeric salts
10 having optical purity >99.5% is treated with aqueous solution of base i.e. alkali metal hydroxides, carbonates and bicarbonates to get free R-(-) or S-(+)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide with optical purity between 99.5-99.9%, but most preferably aqueous sodium hydroxide solution is used.
25. A process as claimed in any preceding claim, wherein the mother liquor obtained
15 from I and II purification contains diastereomeric salts, having optical purity 70-85% of R-(-) or S-(+)-5-(2-aminopropyl)-2-methoxy benzenesulfonamide, that can be mixed in another batch during I purification to enhance the productivity.

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